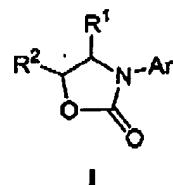


Patent Application Serial No.: 10/645,779
Attorney Docket No PC23276AClaim Amendments

Please make the amendments shown below:

1. (presently pending) A method for preparing a compound of formula I

wherein

R¹ is a partially saturated, fully saturated or fully unsaturated (C₁-C₄) straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected independently from oxygen, sulfur and nitrogen wherein said carbon atoms are optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with oxo or hydroxy, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo; or said R¹ is a partially saturated, fully saturated or fully unsaturated three to five membered ring optionally having one heteroatom selected independently from oxygen, sulfur and nitrogen; wherein said R¹ ring is optionally mono-, di- or tri-substituted independently with halo, (C₁-C₈)alkoxy, nitro, (C₁-C₄)alkyloxycarbonyl;

R² is hydrogen, C₁-C₄ alkyl, C₃-C₈ cycloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkyl;

phenyl optionally substituted with C₁-C₆ alkoxy or OY wherein Y is a hydroxy protecting group, halogen, C₁-C₄ alkyl, C₂-C₆ alkenyl, C₂-C₈ alkynyl, C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkyl, trifluoromethyl, nitro, carbo-C₁-C₄ alkoxy, C₁-C₄ alkoxy-carbonyl, carbonyl, or cyano;

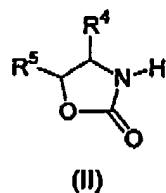
or benzyl with the phenyl moiety of the benzyl optionally substituted with C₁-C₆ alkoxy or OY wherein Y is a hydroxy protecting group, halogen, C₁-C₄ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₁-C₄ alkoxy-C₁-C₄ alkyl, trifluoromethyl, amido, nitro, carbo-C₁-C₄ alkoxy, C₁-C₄ alkoxy-carbonyl, carbonyl or cyano;

wherein Ar is Ar is an aromatic hydrocarbon or heteroaromatic moiety selected from the group consisting of phenyl, naphthyl, pyridyl, thiophenyl, furanyl, pyrrolyl and pyrimidyl, imidazolyl, oxazolyl, thiazolyl, triazolyl, pyrazolyl, pyrazinyl, pyridazinyl each of which may

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be optionally substituted by one or more, preferably one to two, substituents independently selected from the group consisting of halogen, hydroxyl, C₁-C₄ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkyl, trifluoromethyl (CF₃), amino, amido, imines, nitro, carbo-C₁-C₄ alkoxy, C₁-C₄ alkoxy-carbonyl, carbonyls (ketones and aldehydes), cyano;

comprising reacting a compound of formula II



wherein

R⁴ is a partially saturated, fully saturated or fully unsaturated (C₁-C₄) straight or branched carbon chain wherein the carbons, other than the connecting carbon, may optionally be replaced with one heteroatom selected independently from oxygen, sulfur and nitrogen wherein said carbon atoms are optionally mono-, di- or tri-substituted independently with halo, said carbon is optionally mono-substituted with oxo or hydroxy, said sulfur is optionally mono- or di-substituted with oxo, said nitrogen is optionally mono- or di-substituted with oxo; or said R¹ is a partially saturated, fully saturated or fully unsaturated three to five membered ring optionally having one heteroatom selected independently from oxygen, sulfur and nitrogen; wherein said R¹ ring is optionally mono-, di- or tri-substituted independently with halo, (C₁-C₆)alkoxy, nitro, (C₁-C₄)alkyloxycarbonyl;

R⁵ is hydrogen, C₁-C₄ alkyl, C₃-C₆ cycloalkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkyl;

phenyl optionally substituted with C₁-C₆ alkoxy or OY wherein Y is a hydroxy protecting group, halogen, C₁-C₄ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkyl, trifluoromethyl, nitro, carbo-C₁-C₄ alkoxy, C₁-C₄ alkoxy-carbonyl, carbonyl, or cyano;

or benzyl with the phenyl moiety of the benzyl optionally substituted with C₁-C₆ alkoxy or OY wherein Y is a hydroxy protecting group, halogen, C₁-C₄ alkyl, C₂-C₆ alkenyl, C₂-C₆ alkynyl, C₁-C₄ alkoxy-C₁-C₄ alkyl, trifluoromethyl, amido, nitro, carbo-C₁-C₄ alkoxy, C₁-C₄ alkoxy-carbonyl, carbonyl or cyano;

with an aryl halide of formula III

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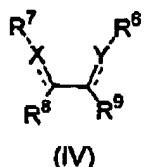
Ar-L

(III)

wherein Ar is an aromatic hydrocarbon or heteroaromatic moiety selected from the group consisting of phenyl, naphthyl, pyridyl, thiophenyl, furanyl, pyrrolyl and pyrimidyl, imidazolyl, oxazolyl, thiazolyl, triazolyl, pyrazolyl, pyrazinyl, pyridazinyl each of which may be optionally substituted by one or more, preferably one to two, substituents independently selected from the group consisting of halogen, C₁-C₄ alkyl, C₂-C₆ alkaryl, C₂-C₆ alkynyl, C₁-C₄ alkoxy, C₁-C₄ alkoxy-C₁-C₄ alkyl, trifluoromethyl (CF₃), nitro, carbo-C₁-C₄ alkoxy, C₁-C₄ alkoxy-carbonyl, carbonyls (ketones and aldehydes), cyano;

L is an activated leaving group, such as a halide, preferably iodide or bromide; or alkyl- or aryl-sulfonate, such as mesylate, triflate, tosylate

in the presence of a bidentate ligand of formula IV



wherein

R⁶, R⁷, R⁸, R⁹ are independently selected from hydrogen, cyclic or acyclic C₁-C₆ alkyl, alkenyl, aryl,

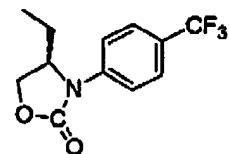
wherein X and Y are independently selected from nitrogen and oxygen; where nitrogen is incorporated as an amine or imine or as a part of nitrogen heterocycle; where oxygen is incorporated as a hydroxy, alkoxy, or oxo substituent,

and in the presence of a copper catalyst.

2. (presently pending) The method according to claim 1 wherein the activated leaving group is an iodide or bromide.
3. (presently pending) The method according to claim 1 wherein the ligand is N,N-dimethyl ethylenediamine.
4. (presently pending) The method according to claim 1 wherein the ligand is 1,2-diaminocyclohexane.

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5. (withdrawn) A compound (R)-4-ethyl-3-(4-trifluoromethyl-phenyl)-oxazolidin-2-one of formula V



(V)

6. (withdrawn) A compound (R)-4-ethyl-3-(4-trifluoromethyl-phenyl)-[1,2,3]oxathiazolidine 2-oxide of formula VI

